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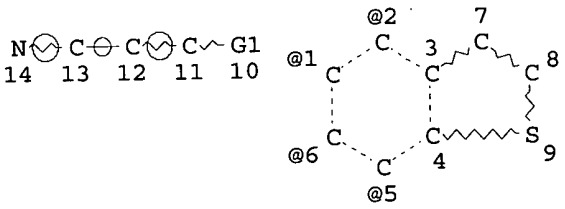
L3 STR



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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

=> s l3 ful
FULL SEARCH INITIATED 12:42:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 16978 TO ITERATE

100.0% PROCESSED 16978 ITERATIONS 237 ANSWERS
SEARCH TIME: 00.00.01

L5 237 SEA SSS FUL L3

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=> s l5
L6          23 L5

=> s l6 and py<=1999
      19718998 PY<=1999
L7           5 L6 AND PY<=1999

=> d bib abs hitstr 1-5

L7   ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2003 ACS
AN   1998:392148  CAPLUS
DN   129:54367
TI   Substituted pyrazolyl benzenesulfonamides for the treatment of
     inflammation
IN   Talley, John J.; Penning, Thomas D.; Collins, Paul W.; Rogier, Donald J.,
     Jr.; Malecha, James W.; Miyashiro, Julie M.; Bertenshaw, Stephen R.;
     Khanna, Ish K.; Graneto, Matthew J.; Rogers, Roland S.; Carter, Jeffery
     S.; Docter, Stephen H.; Yu, Stella S.
PA   G.D. Searle & Co., USA
SO   U.S., 55 pp., Cont.-in-part of U. S. 5,521,207.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 4
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
PI   US 5760068         A    19980602       US 1996-648113   19960906 <--
     US 5466823         A    19951114       US 1993-160594   19931130 <--
     US 5521207         A    19960528       US 1994-223629   19940406 <--
     WO 9515316         A1   19950608       WO 1994-US12720  19941114 <--
         W:  AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
             GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG,
             MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA,
             US, US
         RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
             MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
             TD, TG
     US 6156781         A    20001205       US 1999-449076   19991124
     US 6413960         B1   20020702       US 2000-609011   20000530
     US 6492411         B1   20021210       US 2002-125325   20020417
PRAI US 1993-160594     A2   19931130
     US 1994-223629     A2   19940406
     WO 1994-US12720    W    19941114
     US 1996-648113     A1   19960906
     US 1997-957345     B1   19971024
     US 1999-449076     A1   19991124
     US 2000-609011     A2   20000530
OS   MARPAT 129:54367
GI
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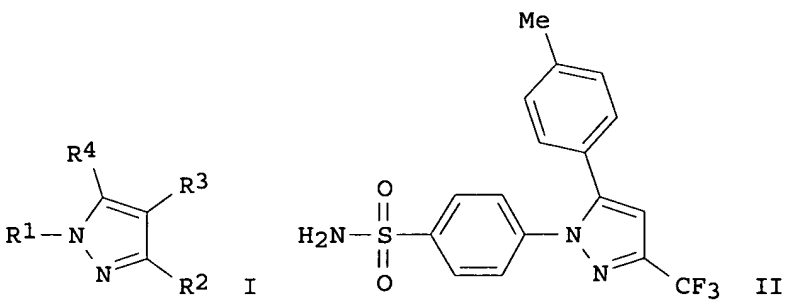

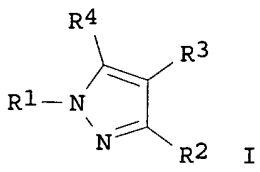

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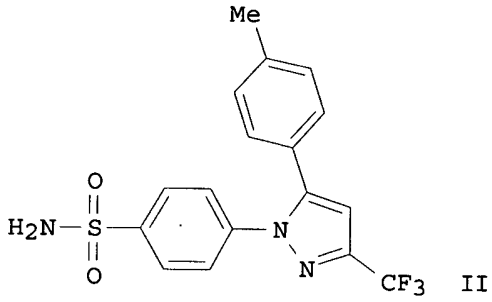


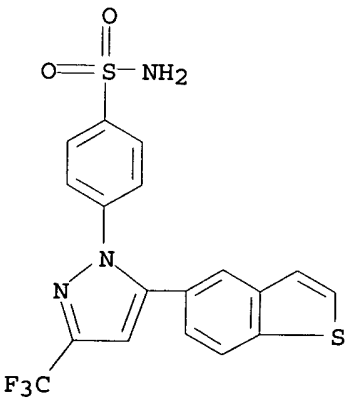
II

AB A class of pyrazolyl benzenesulfonamide compds. is described for use in treating inflammation and inflammation-related disorders. Several methods of such treatment are claimed, using various subsets of the title compds., e.g., I [R1 = Ph substituted by .gtoreq.1 halo, C1-10 alkyl, or sulfamyl; R2 = H, haloalkyl, alkoxycarbonyl, cyano, carboxy, aminocarbonyl, alkylaminocarbonyl, carboxyalkyl, aminocarbonylalkyl, hydroxyalkyl, etc.; R3 = H, alkyl, cyano, alkoxy, hydroxyalkyl, alkylthio, alkylsulfonyl, halo; R4 = (un)substituted aralkenyl, aryl, cycloalkyl, cycloalkenyl, heterocyclyl; with numerous provisos]. Claims also cover use of the compds. in treatment of arthritis, pain, and fever, as well as prevention of colorectal cancer. Over 260 synthetic examples are described. For instance, condensation of 4'-methylacetophenone with Et trifluoroacetate gave 94% yield of crude CF3COCH2COC6H4Me-4. This underwent cyclocondensation with 4-H2NSO2C6H4NHNH2.HCl in refluxing EtOH to give 46% yield of title compd. II. The compds. typically showed high selectivity for inhibition of human cyclooxygenase (COX) II over COX I. Selected compds. gave 2-49% inhibition in the carrageenin-induced rat paw edema test at 10-30 mg/kg orally.

IT **170571-66-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolylbenzenesulfonamides as antiinflammatories)

RN 170571-66-1 CAPLUS

CN Benzenesulfonamide, 4-[5-benzo[b]thien-5-yl-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

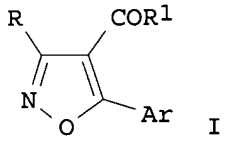
L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS

AN 1995:995026 CAPLUS

DN 124:117307
TI Preparation of isoxazole derivatives as herbicides
IN Geach, Neil; Hawkins, David William; Pearson, Christopher John; Smith, Philip Henry Gaunt; White, Nicolas
PA Rhone-Poulenc Agriculture Ltd., UK
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9525105	A1	19950921	WO 1995-EP951	19950314 <--
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, UG, US, UZ, VN				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9518943	A1	19951003	AU 1995-18943	19950314 <--
PRAI	GB 1994-5234		19940317		
	WO 1995-EP951		19950314		

OS MARPAT 124:117307
GI

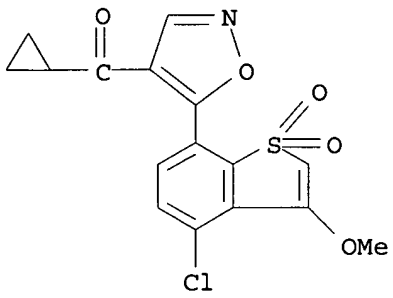


I

AB The title isoxazoles I [Ar represents a monocyclic or fused bicyclic heterocyclic system Het having a non-pyridyl heterocyclic first ring and an optional second heterocyclic or carbocyclic ring, the second ring when present being fused to the first ring, the first ring having from 1 to 4 hetero ring atoms and from 4 to 7 total ring atoms, the first ring being arom. or non-arom. and being optionally substituted by from 1 to 4 R2 groups which may be the same or different, the second ring being optionally substituted by from 1 to 4 R2 groups which may be the same or different; R represents the hydrogen atom or a group CO2R3; R1 represents a straight- or branched-chain alkyl group contg. from one to six carbon atoms which is optionally substituted by one or more halogen atoms; or a cycloalkylgroup contg. from three to six carbon atoms optionally substituted by one or more groups selected from R4, CO2R4, SR4, halogen and OR4; R2 represents a halogen atom, a straight- or branched-chain alkyl group contg. from one to six carbon atoms which is substituted by a group OR4; or a group selected from OH, R4, etc.; a proviso is given; R3 and R4 each represents alkyl, alkenyl, etc.] are claimed. 4-Cyclopropylcarbonyl-5-(2,2-difluoro-1,3-benzodioxol-4-yl)isoxazole (prepn. given) at 4 Kg/ha pre- or post-emergence gave 90% control of one or more weed species (Abutilon theophrasti, Avena fatua, etc.).

IT **172967-39-4P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of isoxazole derivs. as herbicides)

RN 172967-39-4 CAPLUS
CN Methanone, [5-(4-chloro-1,1-dioxido-3-methoxybenzo[b]thien-7-yl)-4-isoxazolyl]cyclopropyl- (9CI) (CA INDEX NAME)



L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS
AN 1995:931246 CAPLUS
DN 123:340112
TI Preparation of pyrazolylbenzenesulfonamides as antiinflammatories.
IN Talley, John J.; Penning, Thomas D.; Collins, Paul W.; Rogier, Donald J., Jr.; Malecha, James W.; Miyashiro, Julie M.; Bertenshaw, Stephen R.; Khanna, Ish K.; Granets, Matthew J.; et al.
PA G. D. Searle and Co., USA
SO PCT Int. Appl., 254 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9515316	A1	19950608	WO 1994-US12720	19941114	<--
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US					
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
	US 5466823	A	19951114	US 1993-160594	19931130	<--
	US 5521207	A	19960528	US 1994-223629	19940406	<--
	AU 9511714	A1	19950619	AU 1995-11714	19941114	<--
	AU 690609	B2	19980430			
	EP 731795	A1	19960918	EP 1995-902444	19941114	<--
	EP 731795	B1	19991222			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
	RU 2139281	C1	19991010	RU 1996-115039	19941114	<--
	AT 187965	E	20000115	AT 1995-902444	19941114	
	JP 3025017	B2	20000327	JP 1995-515611	19941114	<--
	JP 09506350	T2	19970624			
	PL 180717	B1	20010330	PL 1994-314695	19941114	
	TW 418193	B	20010111	TW 1995-84104854	19950516	
	TW 467900	B	20011211	TW 2000-89104784	19950516	
	FI 9602249	A	19960529	FI 1996-2249	19960529	<--
	NO 9602184	A	19960529	NO 1996-2184	19960529	<--
	US 5760068	A	19980602	US 1996-648113	19960906	<--
	HK 1013649	A1	20000707	HK 1998-114923	19981223	
	US 6156781	A	20001205	US 1999-449076	19991124	
	US 6413960	B1	20020702	US 2000-609011	20000530	
	US 6492411	B1	20021210	US 2002-125325	20020417	
PRAI	US 1993-160594	A2	19931130			
	US 1994-223629	A2	19940604			
	WO 1994-US12720	W	19941114			
	US 1996-648113	A1	19960906			
	US 1997-957345	B1	19971024			

US 1999-449076 A1 19991124
US 2000-609011 A2 20000530

OS MARPAT 123:340112

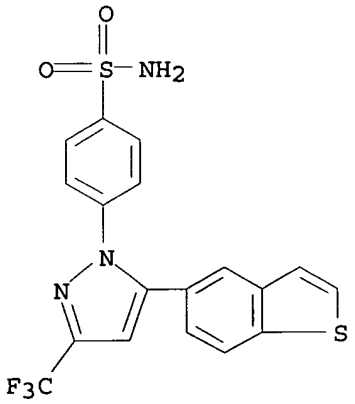
GI For diagram(s), see printed CA Issue.

AB Title compds. [I; R1 = (substituted) (hetero)aryl; R2 = H, alkyl, haloalkyl, alkoxycarbonyl, cyano, NO2, cyanoalkyl, carboxyl, aminocarbonyl, alkylaminocarbonyl, carboxyalkylaminocarbonyl, carboxyalkyl, aralkoxycarbonylalkylaminocarbonyl, aminocarbonylalkyl, alkoxycarbonylcyanoalkenyl, hydroxyalkyl etc.; R3 = H, alkyl, cyano, NO2, formyl, cyanoamidino, hydroxyalkyl, cycloalkyl, alkylsulfonyl, halo, heterocyclyl, heterocyclylalkyl, etc.; R4 = (substituted) aralkenyl, aryl, cycloalkyl, cycloalkenyl, heterocyclyl; R3R4 = Q1; m = 1-3; A = Ph, 5-6 membered heterocyclyl; R6 = halo, alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, carboxyl, aminocarbonyl, sulfamyl, NO2, acylamino, etc.; provided R2 and R3 do not both = H, carboxy, ethoxycarbonyl; further provided that R2 .noteq. carboxyl, Me when R3 = H and when R4 is Ph; further provided that R4 .noteq. triazolyl when R2 = Me; further provided that R4 .noteq. aralkenyl when R2 = carboxyl, aminocarbonyl, ethoxycarbonyl; further provided that R4 .noteq. Ph when R2 = Me and R3 = carboxyl; and further provided that R4 .noteq. unsubstituted thienyl when R2 = trifluoromethyl], were prepd. Thus, F3CCO2Et in MeOCMe3 was treated with 25% NaOMe and then 4'-chloroacetophenone followed by stirring overnight to give 85% 4,4,4-trifluoro-1-(4-chlorophenyl)butane-1,3-dione. The latter was refluxed with 4-sulfonamidophenylhydrazine hydrochloride in EtOH to give title compd. (II). II inhibited human cyclooxygenase II and I with ID50 = <.1 .mu.M and 18 .mu.M, resp.

IT **170571-66-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolylbenzenesulfonamides as antiinflammatories)

RN 170571-66-1 CAPLUS

CN Benzenesulfonamide, 4-[5-benzo[b]thien-5-yl-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS

AN 1991:81573 CAPLUS

DN 114:81573

TI Preparation of pyrrolidine derivatives as dopamine agonists

IN Yamanaka, Motosuke; Hoshiko, Tomonori; Suda, Shinji; Yoneda, Naoki; Mori, Nobuyuki; Shino, Mitsumasa; Ishihara, Hiroki; Saito, Mamoru; Matsuoka, Toshiyuki

PA Eisai Co., Ltd., Japan

SO Eur. Pat. Appl., 64 pp.
CODEN: EPXXDW

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 381235	A2	19900808	EP 1990-102102	19900202	<--
	EP 381235	A3	19910403			
	EP 381235	B1	19930728			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL					
	JP 03118361	A2	19910520	JP 1989-254349	19890929	<--
	JP 2928288	B2	19990803			
	US 5444083	A	19950822	US 1990-468147	19900122	<--
	NO 9000417	A	19900806	NO 1990-417	19900130	<--
	NO 173988	B	19931122			
	NO 173988	C	19940302			
	AU 9049013	A1	19901101	AU 1990-49013	19900201	<--
	AU 619943	B2	19920206			
	CA 2009162	AA	19900803	CA 1990-2009162	19900202	<--
	JP 02288855	A2	19901128	JP 1990-25314	19900202	<--
	JP 2928307	B2	19990803			
	HU 53867	A2	19901228	HU 1990-654	19900202	<--
	AT 92043	E	19930815	AT 1990-102102	19900202	<--
	ES 2058620	T3	19941101	ES 1990-102102	19900202	<--
	RU 2024506	C1	19941215	RU 1990-4743218	19900202	<--
	CN 1044652	A	19900815	CN 1990-100521	19900203	<--
PRAI	JP 1989-25262		19890203			
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	EP 1990-102102		19900202			

OS MARPAT 114:81573
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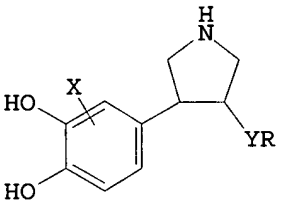

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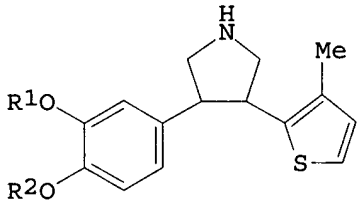


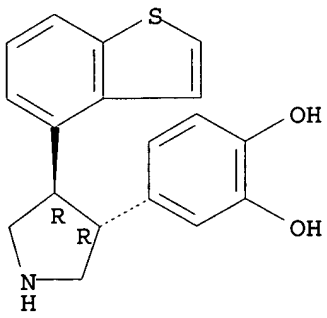
II

AB The title compds. I [X = H, halo, alkyl; Y = (CH2)n, O, NH, etc.; n = 0-2; R = (substituted) Ph, naphthyl, heteroaryl] were prepd. A mixt. of pyrrolidine trans-II (R1 = R2 = Me) (prepn. given) and BBr3 in CH2Cl2 was stirred at room temp. for 3 h to give trans-II.HBr (R1 = R2 = H). In an in vitro D1 receptor binding test using the striatum of rats and 3H-Sch23390, the compd. trans-3-(3,4-dihydroxyphenyl)-4-phenylpyrrolidine HBr salt exhibited IC50 of 4.80 .times. 10-6 M; the D2 value was 88 .times. 10-6 M. Addnl. cardiohemodynamic data are given.

IT **131781-92-5P 131781-93-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as dopamine agonist)

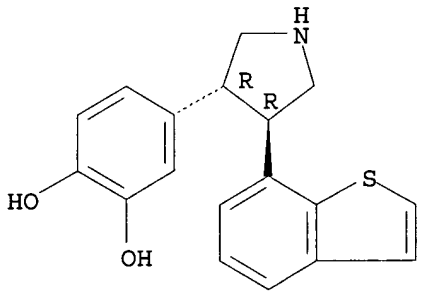
RN 131781-92-5 CAPLUS
CN 1,2-Benzenediol, 4-(4-benzo[b]thien-4-yl-3-pyrrolidinyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 131781-93-6 CAPLUS
CN 1,2-Benzenediol, 4-(4-benzo[b]thien-7-yl-3-pyrrolidinyl)-, trans- (9CI) (CA INDEX NAME)

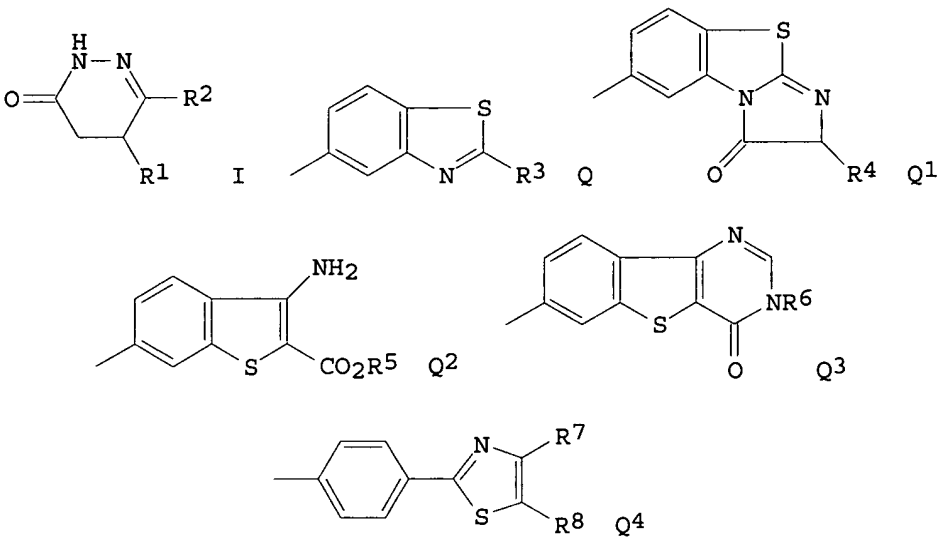
Relative stereochemistry.



L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS
AN 1991:6530 CAPLUS
DN 114:6530
TI 4,5-Dihydro-6-(benzoheterocyclyl or thiazolylphenyl)-3(2H)-pyridazinone derivatives as cardiotonics
IN Nomoto, Yuji; Takai, Haruki; Ono, Tetsuji; Kubo, Kazuhiro
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 02193994	A2	19900731	JP 1989-13365	19890123 <--
PRAI	JP 1989-13365		19890123		

OS MARPAT 114:6530
GI

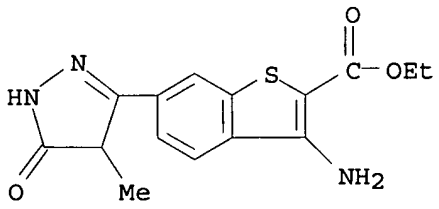


AB The title derivs. I (R1 = H, lower alkyl; R2 = Q, Q1, Q2, Q3, Q4; R3 = SH, lower alkylthio, arylthio, lower alkylsulfinyl, arylsulfinyl, lower alkylsulfonyl, arylsulfonyl; R4, R5 = H, lower alkyl; R6 = H, lower alkyl, NH2; R7 = lower alkyl, lower alkoxycarbonyl; R8 = H, lower alkanoyl, lower alkoxycarbonyl) and their pharmacol. acceptable salts are prepd. A mixt. of 3-(4-chloro-3-nitrobenzoyl)butyric acid, Na2S.9H2O, and H2O was stirred at 130.degree. for 24 h and the resulting amino deriv. in DMF was treated with CS2 at 60.degree. for 3 h, then H2NNH2.H2O in AcOH at 100.degree. for 3 h to give 47% I (R1 = Me, R2 = Q, R3 = SH) (II). A MeOH suspension of II was treated with NaOH and MeI at room temp. for 20 min to give 62% I (R1 = Me, R2 = Q, R3 = SMe), which as well as II increased myocardiac contractility in dogs by 59.0.+-.8.5% max. (percentage increase in dp/dt of left ventricular pressure) at 0.03 mg/kg i.v.

IT **130818-90-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiotonic)

RN 130818-90-5 CAPLUS

CN Benzo[b]thiophene-2-carboxylic acid, 3-amino-6-(4,5-dihydro-4-methyl-5-oxo-1H-pyrazol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)



=> s l6 not l7
L8 18 L6 NOT L7

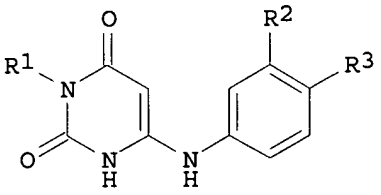
=> d bib abs 1-18

L8 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2003:117630 CAPLUS
DN 138:170246
TI Preparation of N3-substituted 6-anilinopyrimidines to treat Gram-positive bacterial and mycoplasmal infections
IN Zhi, Chengxin; Long, Zheng-Yu; Wright, George E.; Brown, Neal C.
PA University of Massachusetts, USA; Shire Biochem Inc.
SO PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011297	A1	20030213	WO 2002-US19398	20020617

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-298357P P 20010615
US 2002-348420P P 20020114
OS MARPAT 138:170246
GI



I

AB The title compds. [I; R1 = (CH2)m[An(CH2)p]qB (wherein A = CH2, CH:CH, CO, etc.; B = H, halo, alkyl, etc.; m = 1-4; n = 0-1; p = 0-4; q = 0-4); R2, R3 = alkyl, alkenyl, halo; or R2 and R3 together are alkylene; with the provisos], useful for treating Gram-pos. bacterial and mycoplasmal infections, were prepd. Thus, reacting 6-amino-2-methoxy-3-[2-(2-benzyloxyethoxy)ethyl]-4-pyrimidone with 3-ethyl-4-methylaniline.HCl afforded 72% I [R1 = (CH2)2O(CH2)2OCH2Ph; R2 = Et; R3 = Me] which showed MIC of 5 .mu.g/mL against S. aureus and E. fecalis.
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

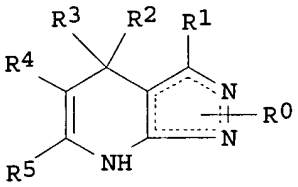
L8 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2002:615612 CAPLUS
DN 137:169516
TI Preparation of dihydropyrazolopyridines and pharmaceutical use based on strong and selective inhibition of glycogen synthase kinase-3 beta
IN Kohara, Toshiyuki; Fukunaga, Kenji; Fujimura, Masatake; Hanano, Tokushi; Okabe, Hirotaka

PA Mitsubishi Pharma Corporation, Japan
SO PCT Int. Appl., 228 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062795	A2	20020815	WO 2002-JP829	20020201
WO 2002062795	C2	20021010		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2001-26379 A 20010202
JP 2001-81238 A 20010321
JP 2001-304707 A 20010928
OS MARPAT 137:169516
GI



I

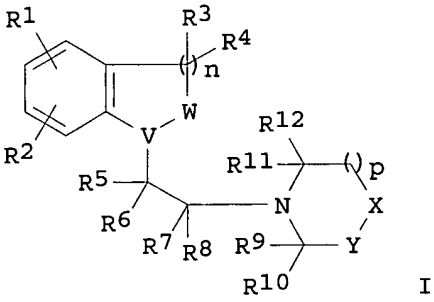
AB The present invention provides dihydropyrazolopyridine compds. (I; e.g. Et 4-(2-chloro-3-trifluoromethylphenyl)-4,7-dihydro-6-propyl-2H-pyrazolo[3,4-b]pyridine-5-carboxylate), wherein each symbol is as defined in the specification, optically active forms thereof, and pharmaceutically acceptable salts thereof and hydrates thereof. The compds. of the present invention show a selective and strong inhibitory activity on glycogen synthase kinase-3 beta (GSK-3.beta.), and are useful as medicaments for prevention and/or treatment of diabetes, diabetic complications and neurodegenerative diseases or as immunopotentiators. GSK-3.beta.-inhibitory activity, GSK-3.beta.-inhibitory activity in rat cultured hippocampal neurons, effect on amyloid .beta.-induced cytotoxicity in rat cultured hippocampal neurons, and GSK-3.beta.-inhibitory effect in gerbil brain ischemia model for some I are reported. Although the methods of prepn. are not claimed, 366 example prepns. are included.

L8 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2002:487558 CAPLUS
DN 137:63260
TI Preparation of heterocyclyl-piperidinyl/piperazinyl-isochromans as CNS agents
IN Agejas-Chicharro, Javier; Bueno Melendo, Ana Belen; Camp, Nicholas Paul; Gilmore, Jeremy; Jimenez-Aguado, Alma Maria; Lamas-Peteira, Carlos; Marcos-Llorente, Alicia; Mazanetz, Michael Philip; Montero Salgado, Carlos; Timms, Graham Henry; Williams, Andrew Caerwyn
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 195 pp.
CODEN: PIXXD2

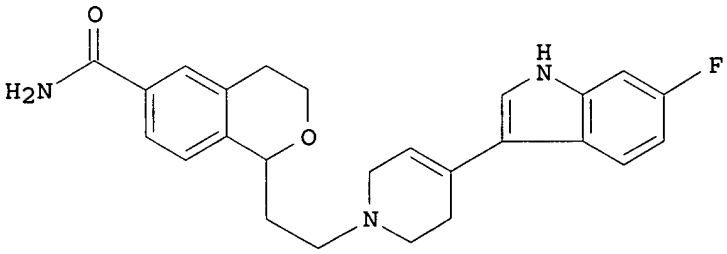
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002050067	A2	20020627	WO 2001-US45856	20011219
	WO 2002050067	A3	20021010		
	W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	GB 2370270	A1	20020626	GB 2000-31084	20001220
	AU 2002032468	A5	20020701	AU 2002-32468	20011219
PRAI	GB 2000-31084	A	20001220		
	WO 2001-US45856	W	20011219		

OS MARPAT 137:63260
GI



I



II

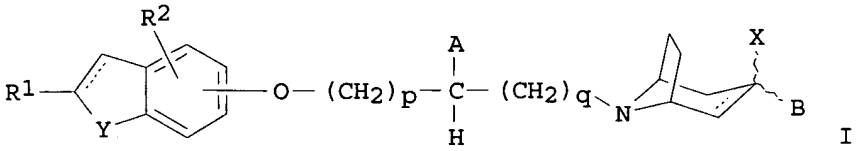
AB Title compds. I [R1 = CN, carboxamide, sulfonamide, heterocyclyl, etc.; R2 = R1, H, alkyl, alkoxy, halo; R3-10, R12 = H, alkyl; R9, R11 = H, alkyl; n = 1-2; p = 0-2; q = 1-2; W-V = CH2-CH, O-CH, S-CH, CH=C; X-Y = N(Z)-CH2, C(Q)(Z)-CH2, C(Z)=CH; Z = benzothiophenyl, benzofuranyl, etc.] were prepd. Over 100 synthetic examples were provided. For instance, 6-Fluoro-3-(1,2,3,6-tetrahydropyridin-4-yl)-1H-indole (prepn. given) was reacted with 2-[6-(aminocarbonyl)-3,4-dihydro-1H-2-benzopyran-1-yl]ethyl methanesulfonate (prepn. given) to afford II as a yellow solid. I are useful for treating central nervous system disorders (no data).

L8 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2003 ACS

AN 2001:472712 CAPLUS
DN 135:76800
TI Azabicyclo[3.2.1]octane derivatives with activity as serotonin reuptake inhibitors and 5-HT1A antagonists, and their use as antidepressants.
IN He, John Xiaoqiang; Honigschmidt, Nicholas Allan; Kohn, Todd Jonathan; Rocco, Vincent Patrick; Spinazze, Patrick Gianpietro; Takeuchi, Kumiko
PA Eli Lilly and Co., USA
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001046187	A1	20010628	WO 2000-US32431	20001206
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1242419	A1	20020925	EP 2000-982253	20001206
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 1999-172610P	P	19991220		
	WO 2000-US32431	W	20001206		

OS MARPAT 135:76800
GI



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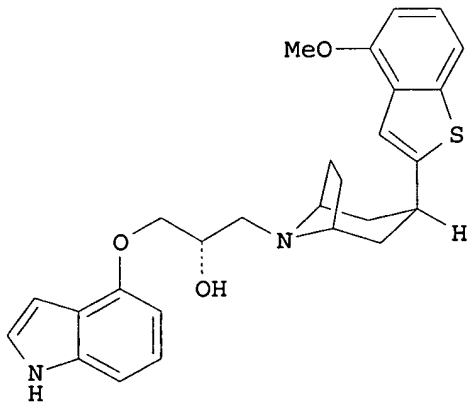


II

AB The invention provides compds. of formula I [A = H, OH, alkoxy; B = (un)substituted benzothienyl, benzofuranyl, indolyl, benzothiazolyl, benzimidazolyl, benzoxazolyl, quinolinyl, phthalazinyl, naphthalenyl, or benzo[h]quinolinyl; X = H, OH, alkoxy, or is absent; Y = CH2, NH, or S; R1

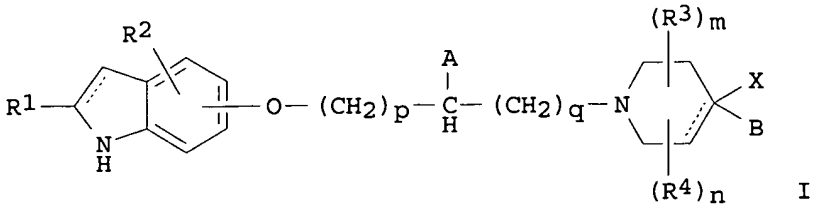
= H, F, alkyl, CONH2 or (di)alkyl derivs., cyano; R2 = H, F, Cl, Br, iodo, OH, alkyl, or alkoxy; p = 0-4; q = 0-3] and their pharmaceutically acceptable salts. The compds. are potent serotonin reuptake inhibitors and antagonists of 5-HT1A receptors (no data). As such, they are expected to be useful for treating depression, anxiety, and alleviating the symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine. Fourteen synthetic examples and several precursor prepns. are given. For instance, title compd. II was prepd. in 87% yield by reaction of endo-3-(4-methoxybenzo[b]thiophen-2-yl)-8-azabicyclo[3.2.1]octane (prepn. given) with (S)-4-(oxiranylmethoxy)indole in refluxing MeOH.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2001:472706 CAPLUS
DN 135:76793
TI Preparation of (2-hydroxy-3-piperidinylpropoxy)indole derivatives as serotonin reuptake inhibitors for the treatment of depression and anxiety
IN Hansen, Marvin Martin; He, John Xiaoqiang; Honigschmidt, Nicholas Allan; Koch, Daniel James; Kohn, Todd Jonathan; Rocco, Vincent Patrick; Spinazze, Patrick Gianpietro; Takeuchi, Kumiko
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 279 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001046181	A1	20010628	WO 2000-US32430	20001206
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1242411	A1	20020925	EP 2000-982252	20001206
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 1999-172748P	P	19991220		
	WO 2000-US32430	W	20001206		

OS MARPAT 135:76793
GI



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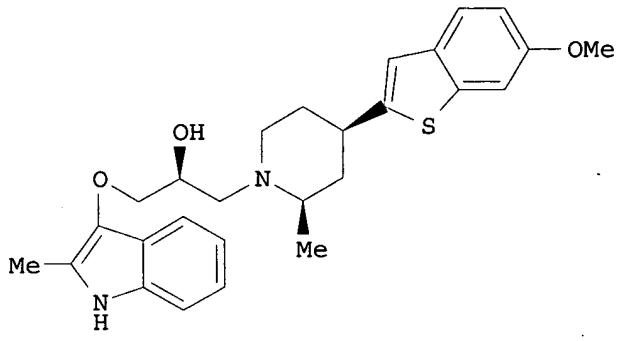


II

AB Title compds. (I) [wherein A = H or OH; B = (un)substituted benzothiophenyl, benzofuranyl, indolyl, benzothiazolyl, benzimidazolyl, benzoxazolyl, quinolinyl, quinoxalinyl, naphthyl, and benz[h]quinolinyl; X = H, OH, alkoxy, or null; R1 = H, F, alkyl, (un)substituted carbamoyl, or CN; R2 = H, halo, OH, alkyl, or alkoxy; R3 and R5 = independently H or alkyl; m and n = independently 0-2; p = 0-4; q = 0-3; or pharmaceutically acceptable salts thereof] were prepd. as serotonin reuptake inhibitors. For example, (S)-glycidyl nosylate was coupled with 4-hydroxy-2-methylindole (77%) and the (2S)-4-glycidyloxy-2-methylindole coupled with (cis)-4-(6-methoxybenzo[b]thiophen-2-yl)-2-methypiperidine to give (-)-II (34%). I are useful as 5-HT1A and 5-HT2A receptor antagonists for the treatment of depression, anxiety, and alleviating the symptoms caused by withdrawal from tobacco or nicotine use (no data).

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

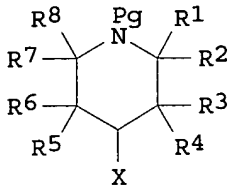
L8 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2001:472674 CAPLUS
DN 135:76798
TI Process for preparation of 4-substituted piperidines by reduction of N-protected 4-hydroxypiperidines.
IN Hansen, Marvin Martin; Heath, Perry Clark; Keast, Sandra Sabol
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001046147	A1	20010628	WO 2000-US32429	20001206

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1244623 A1 20021002 EP 2000-982251 20001206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRAI US 1999-172716P P 19991220
US 1999-172724P P 19991220
WO 2000-US32429 W 20001206
OS CASREACT 135:76798; MARPAT 135:76798
GI



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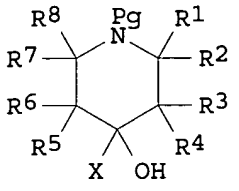


II

AB N-protected-4-substituted piperidines [I; Pg = protecting group; X = (substituted) heterocyclyl, alkenyl, aryl; R1-R8 = alkyl, alkenyl, cycloalkyl, (substituted) aryl, heterocyclyl], were prepd. by treatment of tertiary alcs. (II; variables as above) with Et3SiH and CF3CO2H. Thus, 1-(tert-butoxycarbonyl)-4-(3-methyl-2-trimethylsilylbenzo[b]thiophen-5-yl)piperidin-4-ol (prepn. given) in CH2Cl2 was treated with Et3SiH; the mixt. was cooled to -30.degree. and treated with CF3CO2H followed by treatment with addnl. CF3CO2H and warming to room temp. over 3.5 h to give 92.8% 4-(3-methylbenzo[b]thiophen-5-yl)piperidine hydrochloride.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

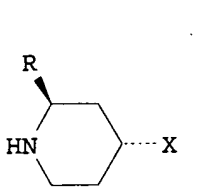
L8 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2001:472670 CAPLUS
DN 135:76797
TI A process for preparing trans-2,4-disubstituted piperidines
IN Godfrey, Alexander Glenn; Pedersen, Steven Wayne
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001046143	A1	20010628	WO 2000-US32428	20001206

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1244622	A1	20021002	EP 2000-980848	20001206

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRAI US 1999-172724P P 19991220
WO 2000-US32428 W 20001206
OS CASREACT 135:76797; MARPAT 135:76797
GI



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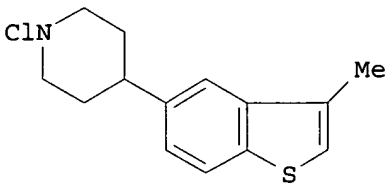
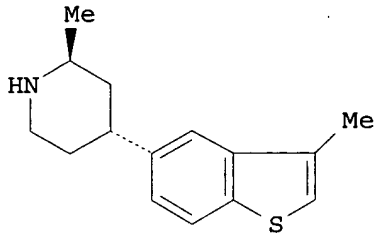


II



III

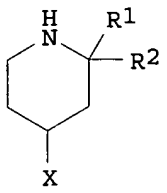
AB Title compds. I (R = alkyl; X = alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl) were prepd. by treating 4-X-substituted 1-chloropiperidines with a crown ether, a base, and RM, where M is a cation. Thus, 13.9 g II in 207 mL THF was treated with 1.0 g 18-crown-6 and 10.16 g KOH in 4 mL water to give an imine, which reacted with 260 mmol MeLi in Et2O at -10.degree. and then at ambient temp. to give, after treatment with NaBH4 and pivalic anhydride in MeOH-THF, a 63% yield of III.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2001:472669 CAPLUS
DN 135:76796
TI Process for the regioselective synthesis of 2,2-dialkyl-4-substituted piperidines from N-halopiperidines and alkylmetals.
IN Heath, Perry Clark
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001046142	A1	20010628	WO 2000-US32424	20001206
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1242377	A1	20020925	EP 2000-982250	20001206
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRAI US 1999-172722P P 19991220
WO 2000-US32424 W 20001206
OS CASREACT 135:76796; MARPAT 135:76796
GI



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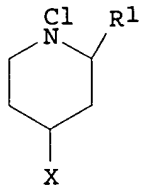


II

AB Title compds. [I; R1, R2 = alkyl; X = alkyl, alkenyl, cycloalkyl, (substituted) aryl, heterocyclyl], were prepd. by sequential treatment of monoalkylated compds. (II; Q = Cl, Br; R1, X as above) with base, Lewis acid, and R-M+ (M+ = suitable cation). Thus, cis-N-chloro-4-(4-methoxybenzo[b]thiophen-2-yl)-2-methylpiperidine (prepn. given) was stirred 17 h with DBU in THF to give 99% 4-(4-methoxybenzo[b]thiophen-2-yl)-2-methyl-3,4,5,6-tetrahydropyridine. The latter in THF at -78.degree. was treated with BF3.Et2O and then with MeLi, followed by stirring for 16 h, to give 30% 2,2-dimethyl-4-(4-methoxybenzo[b]thiophen-2-yl)piperidine.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2001:453057 CAPLUS
DN 135:61243
TI Synthesis, use and herbicidal activity of chroman and thiochroman metal chelates
IN Haley, Gregory J.; Dexter, Robin W.; Szucs, Stephen S.; Rajamoorthi, Kannan
PA Basf Corporation, USA; Basf Aktiengesellschaft; Idemitsu Kosan Co., Ltd.
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001044236	A1	20010621	WO 2000-EP11946	20001129

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-453102 A 19991202
OS MARPAT 135:61243
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. I, their prepn. and use in crop protection are claimed [wherein; G = G1 or G2; M = transition or alk.-earth metal; n = 1, 2 or 3; m = 0 or 1; R1-4 = H, (halo)alkyl or alkoxyalkyl; R7, R8 = H, (cyclo)alkyl or taken together with the atom to which they are attached to form C:O; R5-6, R9, R10 = H, or (cyclo)alkyl; R11 = alk(en)yl or haloalkenyl; R12 = H,

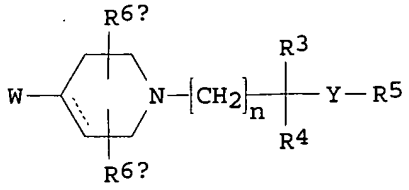
alk(en)yl or alkoxyalkyl; X = O or S(O)0-2; Y = (un)substituted-CH2, alkyl, CH(OH) (or derivs.), C:O or oximes; Z = H, halo, (halo)alkyl, alkoxyalkyl or (halo)alkoxy; p = 1, 2 or 3; or tautomers thereof]. Seventeen synthetic examples are described. The synthesis is exemplified by the prepn. of II from the corresponding protonated ligand (III). Addn. of zinc acetate dihydrate to a soln. of III in warm acetic acid was followed by heating until the mixt. became homogeneous. Addn. of water resulted in the pptn. of II as a solid. The source of the metal may be a metal halide/acetate/nitrate of formula Mn(X1)n where X1 = Cl, Br, F, I, OAc or NO3; M, n as defined above. Compds. I were formulated as an aq. acetone dispersion contg. various excipients and applied to 8 species of monocotyledonous and dicotyledonous plants and a cereal crop (Zea mays) at concns. of 0.0125 to 0.100 kg/ha to det. herbicidal efficacy. Addnl., herbicidal efficacy of chelates I was compared to the free ligand (e.g. III). In one of three assays, a comparative postemergence evaluation (18 days applied at 0.050 kg/ha), chelate II was found to give at least 91% control (approaching complete kill) in 6 out of 7 plants with no obsd. effect on the crop (Zea mays). Ligand III provided the same level of control on plants but caused a 16-29% kill of the crop (Zea mays, 2 brands). Application of compds. I to the foliage or soil/water contg. the seeds for the control of undesirable plant species with enhanced cereal crop safety is a claimed use of the invention.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2001:247332 CAPLUS
DN 134:280711
TI Preparation of 4-(benzothienyl)piperidines as serotonin reuptake inhibitors
IN Kohlman, Daniel Timothy; Liang, Sidney Xi; Xu, Yao-chang
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001023381	A1	20010405	WO 2000-US20824	20000914
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000014447	A	20020611	BR 2000-14447	20000914
	JP 2003510322	T2	20030318	JP 2001-526533	20000914
PRAI	US 1999-157343P	P	19990929		
	WO 2000-US20824	W	20000914		

OS MARPAT 134:280711
GI



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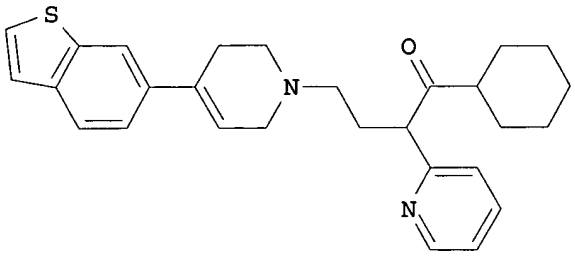


II

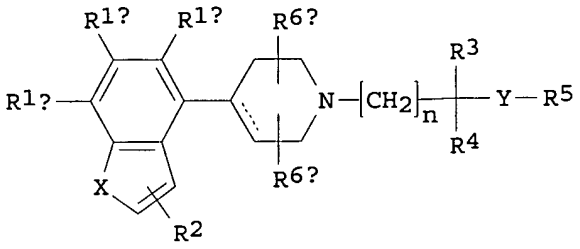
AB The title compds. [I; W = (un)substituted benzothienyl, benzofuranyl; Y = CO, CHOH, CH2, etc.; n = 1-4; R3 = O, OH, hydroxyalkyl, etc.; R4 = (un)substituted aryl, heterocyclyl,cycloalkyl; R5 = (un)substituted aryl, heterocyclyl,cycloalkyl; R6a, R6b = H, alkyl] which inhibit the reuptake of serotonin and antagonize the serotonin receptor, and therefore are useful in alleviating the symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine, and treating depression, were prepd. and formulated. E.g., a multi-step synthesis of II was given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2001:247331 CAPLUS
DN 134:280710
TI Preparation of benzothienyl-substituted piperidines as serotonin reuptake inhibitors
IN Liang, Sidney Xi; Xu, Yao-chang
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001023380	A1	20010405	WO 2000-US20823	20000914
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000014668	A	20020618	BR 2000-14668	20000914
	EP 1220853	A1	20020710	EP 2000-961329	20000914
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003510321	T2	20030318	JP 2001-526532	20000914

PRAI US 1999-156762P P 19990929
WO 2000-US20823 W 20000914
OS MARPAT 134:280710
GI



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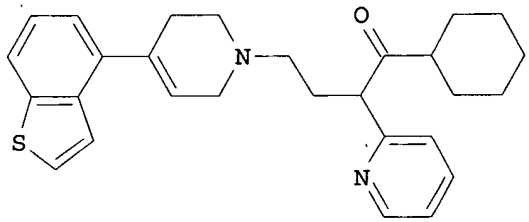

II

AB The title compds. [I; X = O, S; Y = CO, CHOH, CH2, etc.; n = 1-4; R1a, R1b, R1c, R2 = H, F, Cl, etc.; R3 = H, OH, hydroxyalkyl, etc.; R4 = aryl, heterocyclyl, cycloalkyl, etc.; R5 = aryl, heterocyclyl, cycloalkyl, etc.; R6a, R6b = H, alkyl] which inhibit the reuptake of serotonin, antagonize the 5-HT1A receptor and antagonize the 5-HT2A receptor, and therefore are useful for alleviating the symptoms caused by withdrawal from the use of tobacco or nicotine, and depression, were prepd. and formulated. E.g., a multi-step synthesis of II was given.
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2001:101133 CAPLUS
DN 134:162912
TI Preparation and use of serotonergic benzothiophenes
IN Briner, Karin; Burkholder, Timothy Paul; Conway, Richard Gerard; Cunningham, Brian Eugene; Finley, Don Richard; Heinz, Lawrence Joseph; Jesudason, Cynthia Darshini; Kohlman, Daniel Timothy; Liang, Sidney Xi; Xu, Yao-chang
PA Eli Lilly and Company, USA; et al.
SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001009126	A1	20010208	WO 2000-US17864	20000721

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1204660 A1 20020515 EP 2000-950264 20000721

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

JP 2003506371 T2 20030218 JP 2001-514329 20000721

PRAI US 1999-146185P P 19990729

US 1999-172784P P 19991220

WO 2000-US17864 W 20000721

OS MARPAT 134:162912

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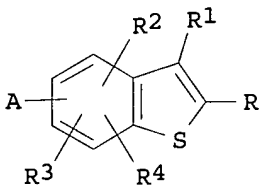

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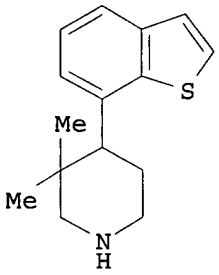


II

AB Compds. of formula I are reported to increase activation of the 5-HT2c receptor [wherein: R is H, halo, trifluoromethyl or alkyl; R1 is as for R, Ph; R2, R3 and R4 are H, halo, trifluoromethyl, cyano, (un)substituted alkyl or monosubstituted amide (where N substituent is alkyl); A is attached at either the 4 or 7 position of the benzothiophene nucleus and is a certain (un)substituted pyrrolidin-3-yl, piperidin-4-yl, tetrahydropyridin-4-yl or homopiperidin-4-yl]. Fifteen examples were provided. II was prepd. by addn. of 1-benzyl-3,3-dimethyl-4-oxopiperidine to a soln. of 7-bromobenzothiophene and tert-butyllithium. The resulting carbinol was deoxygenated and the N-benzyl group removed to provide II as its oxalate salt. Ten solid oral dosage formulations were described. Compds. I were said to have 5-HT2c receptor affinity using a [125I]-DOI assay, and agonist activity in an immunoadsorption scintillation proximity assay. Treatment of depression and obesity are claimed uses for I.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS

AN 2001:12453 CAPLUS

DN 134:86148

TI Process for preparation of 7-substituted benzothiophenes

IN Moher, Eric David; O'Toole, John Cunningham; Rizzo, John Robert; Vicenzi, Jeffrey Thomas; Zhang, Tony Yantao

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2

DT Patent

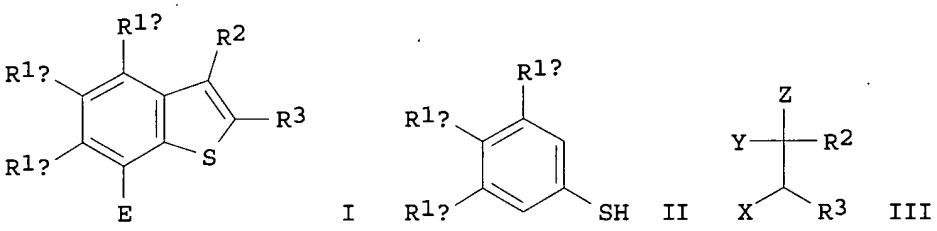
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001000620	A2	20010104	WO 2000-US11884	20000621
WO 2001000620	A3	20010719		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,

LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1196413 A2 20020417 EP 2000-944592 20000621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
PRAI US 1999-141481P P 19990629
WO 2000-US11884 W 20000621
OS MARPAT 134:86148
GI

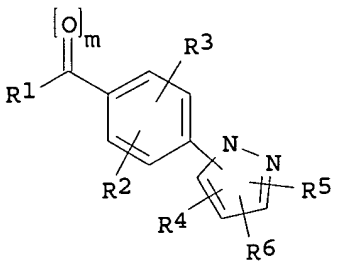


AB The title compds. [I; E = (un)substituted aryl, heterocyclyl, cycloalkyl, alkyl; R1a, R1b, R1c = H, F, Cl, etc.; R1a and R1b together or R1b and R1c together form a (un)satd. carbocyclyl; R2 = H, alkyl, OH, etc.; R3 = H, alkyl, (un)substituted aryl, etc.; R2 and R3 are connected by alkylene], useful as intermediates in the prepn. of pharmaceuticals, were prepd. by treating the thiophenol II with a suitable base, electrophile, and a compd. III [X = a suitable leaving group; Y = OR4; Z = OR5 (wherein R4, R5 = alkyl); or Y and Z together = CO; or Y and Z are both O and are connected by alkylene] followed by addn. of a suitable acid catalyst.

L8 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2000:790480 CAPLUS
DN 133:335232
TI Preparation of pyrazoles as antiinflammatory agents
IN Lohray, Vidya Bhushan; Sunil, Kumar Singh; Akella, Venkateswarlu; Lohray, Braj Bhushan; Pamulapati, Ganapathi Reddy; Ramanujam, Rajagopalan; Parimal, Misra
PA Reddy's Research Foundation, India
SO PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000066562	A1	20001109	WO 2000-IB556	20000502

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI IN 1999-MA508 A 19990503
OS MARPAT 133:335232
GI



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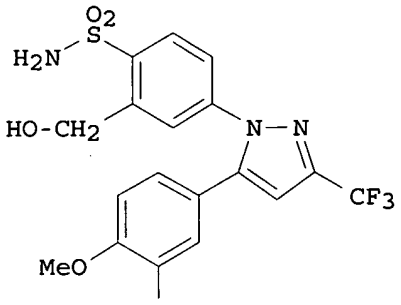


II

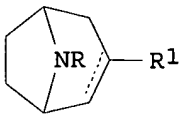
AB The title compds. [I; R1 = NH2, alkyl, alkylamino, etc.; R2 = CN, NO2, N3, etc.; R3 = H, halo, OH, etc.; R4-R6 = H, halo, OH, etc.; m = 0-2], useful for the treatment and/or prophylaxis of diseases of cyclooxygenase, more particularly COX-2, were prepd. E.g., a multi-step synthesis of the pyrazole II which showed IC50 of 0.56 .+-. 0.03 (100 .mu.M) against COX-2 vs. IC50 of 264 .+-. 0.5 (100 .mu.M) against COX-1, was given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2000:384193 CAPLUS
DN 133:30663
TI Preparation of 8-azabicyclo[3.2.1]oct-2-ene and -octane derivatives as cholinergic ligands at the nicotinic Acetyl Choline Receptors (nAChR)
IN Peters, Dan; Olsen, Gunnar M.; Nielsen, Simon Feldbaek; Nielsen, Elsebet Ostergaard
PA Neurosearch A/S, Den.
SO PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000032600	A1	20000608	WO 1999-DK661	19991126
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2342621	AA	20000608	CA 1999-2342621	19991126
	EP 1133494	A1	20010919	EP 1999-973031	19991126
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002531456	T2	20020924	JP 2000-585242	19991126
	US 2002035122	A1	20020321	US 2001-864367	20010525
PRAI	DK 1998-1570	A	19981127		
	WO 1999-DK661	W	19991126		

OS MARPAT 133:30663
GI



I

AB The title compds. [I; R = H, alkyl, alkenyl, etc.; R1 = COR2, (un)substituted mono- or polycyclic aryl, (un)substituted (un)satd. 5-6 membered heterocyclyl, etc.; R2 = H, alkyl, alkenyl, etc.] and their salts which are found to be cholinergic ligands at the nicotinic Acetyl Choline Receptors (no data) and may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, diseases or disorders related to neurodegeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chem. substances, were prepd. E.g., a 2-step synthesis of (.+-.)-8-azabicyclo[3.2.1]oct-2-ene I.fumarate [R = Me; R1 = 6-methoxy-2-naphthyl] was given. Compds. I may also be useful as radioligands for in vivo receptor imaging (neuroimaging).

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2000:15021 CAPLUS
DN 132:64187
TI Preparation of azepine derivatives having effects on serotonin related systems
IN Hauser, Kenneth Lee; Hertel, Larry Wayne; Xu, Yao-Chang
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000000203	A1	20000106	WO 1999-US14778	19990629
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2335310	AA	20000106	CA 1999-2335310	19990629
	AU 9947277	A1	20000117	AU 1999-47277	19990629
	EP 1091741	A1	20010418	EP 1999-930830	19990629
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	JP 2002519326	T2	20020702	JP 2000-556788	19990629
	US 6465453	B1	20021015	US 2000-701363	20001128
	US 2002193590	A1	20021219	US 2002-141424	20020508
PRAI	US 1998-91245P	P	19980630		
	WO 1999-US14778	W	19990629		
	US 2000-701363	A3	20001128		

OS MARPAT 132:64187
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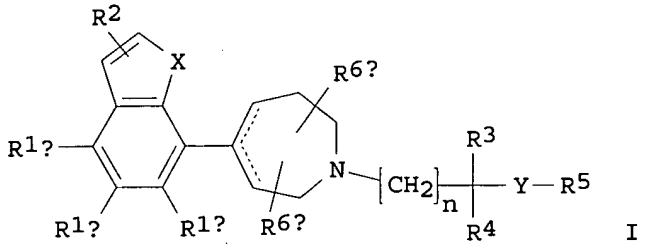

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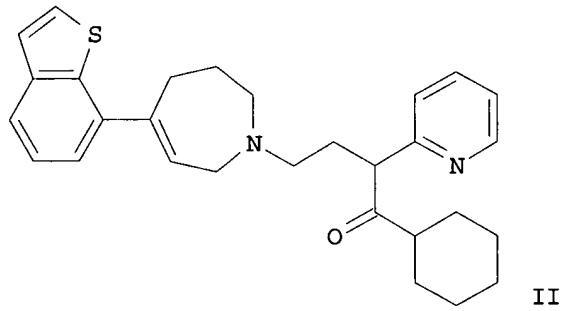

II

AB The title compds. [I; X = O, S, NR, SO, SO2; Y = CO, CH(OH), CH2, etc.; n = 1-4; R = H, alkyl; R1a, R1b, R1c, R2 = H, F, Cl, etc.; R3 = H, OH, alkyl, etc.; R4 = (un)substituted aryl, heterocyclyl, cycloalkyl; R5 = (un)substituted aryl, heterocyclyl, cycloalkyl; R6a, R6b = H, alkyl], useful in inhibiting the reuptake of serotonin, antagonizing the 5-HT1A receptor and antagonizing the 5-HT2A receptor, and therefore useful in treating depression, were prepd. and formulated. E.g., a multi-step synthesis of the title compd. II was given. Compds. I are effective at 20-25 mg/day.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2000:15012 CAPLUS
DN 132:64175
TI Preparation of piperidine derivatives having effects on serotonin related systems
IN Hertel, Larry Wayne; Kohlmam, Daniel Timothy; Liang, Sidney Xi; Wong, David Taiwai; Xu, Yao-Chang
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000000198	A1	20000106	WO 1999-US14732	19990629

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,

MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2336117	AA	20000106	CA 1999-2336117	19990629
AU 9947266	A1	20000117	AU 1999-47266	19990629
EP 982304	A1	20000301	EP 1999-305095	19990629
EP 982304	B1	20021002		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1146045	A1	20011017	EP 2001-202620	19990629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002519323	T2	20020702	JP 2000-556783	19990629
AT 225345	E	20021015	AT 1999-305095	19990629
ES 2181366	T3	20030216	ES 1999-305095	19990629
US 6436964	B1	20020820	US 2000-701406	20001128
PRAI US 1998-91241P	P	19980630		
EP 1999-305095	A3	19990629		
WO 1999-US14732	W	19990629		

OS MARPAT 132:64175
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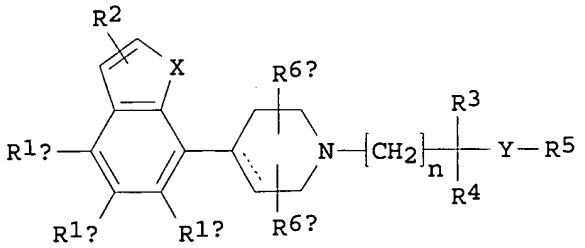

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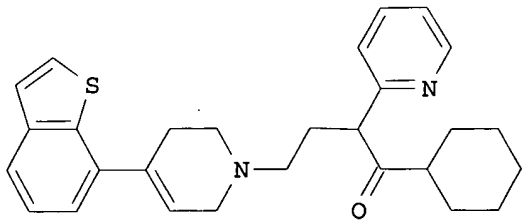


II

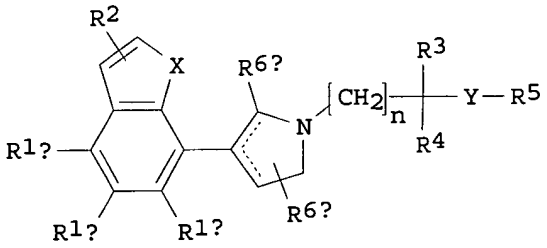
AB The title compds. [I; X = O, S, SO, SO2, NR; Y = CO, CH(OH), CH2, etc.; n = 1-4; R = H, alkyl; R1a, R1b, R1c, R2 = H, F, Cl, Br, etc.; R3 = O, OH, alkyl, etc.; R4 = (un)substituted aryl, heterocyclyl, cycloalkyl, etc., R5 = (un)substituted aryl, heterocyclyl, cycloalkyl, etc., R6a, R6b = H, alkyl] and their pharmaceutically acceptable salts, useful for inhibiting the reuptake of serotonin, antagonizing the 5-HT1A receptor and antagonizing the 5-HT2A receptor, and therefore useful in treating depression, were prepd. and formulated. E.g., a multi-step synthesis of tetrahydropyridine II.oxalate, was given. In general, compds. I are effective at 1-200 mg/day.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2003 ACS
AN 2000:15008 CAPLUS
DN 132:78467
TI Preparation of pyrrolidine and pyrroline derivatives having effects on serotonin related systems
IN Hertel, Larry Wayne; Xu, Yao-chang
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000000196	A1	20000106	WO 1999-US14881	19990629
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2334897	AA	20000106	CA 1999-2334897	19990629
	AU 9948501	A1	20000117	AU 1999-48501	19990629
	EP 1100501	A1	20010523	EP 1999-932127	19990629
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	JP 2002519321	T2	20020702	JP 2000-556781	19990629
	US 6353008	B1	20020305	US 2000-701361	20001128
PRAI	US 1998-91204P	P	19980630		
	WO 1999-US14881	W	19990629		

OS MARPAT 132:78467
GI



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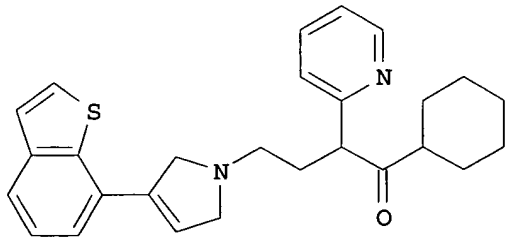

II

AB The title compds. [I; X = O, S, NR, SO, SO2; Y = CO, CH(OH), CH2, etc.; n = 1-4; R = H, alkyl; R1a, R1b, R1c, R2 = H, F, Cl, etc.; R3 = H, OH, alkyl, etc.; R4 = (un)substituted aryl, heterocyclyl, cycloalkyl; R5 =

(un)substituted aryl, heterocyclyl, cycloalkyl; R6a, R6b = H, alkyl] which inhibit the reuptake of serotonin, antagonize the 5-HT1A receptor and antagonize the 5-HT2A receptor, and therefore are useful in the treatment of depression, were prepd. and formulated. Thus, treatment of 3-(2-pyridyl)-4-cyclohexyl-4-keto-butyraldehyde ethylene ketal with 3N HCl followed by addn. of Na2SO4 and 3,4-dihydro-3-(7-benzothiophenyl)pyrrolidine in CH2Cl2, and then NaBH(OAc)3 afforded 24% II. Compds. I are effective, in general, at 1-200 mg/day.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT